PC9985B

F-923

10/771,696

Amendments to the Claims:

Claims 1-27 (Canceled)

28. (Currently amended) A method for the treatment of a disorder or condition in a mammalian subject including a human, wherein the disorder or condition is selected from pain, inflammation, an inflammation—associated—disorder, osteoarthritis, and rheumatoid arthritis, said method comprising administering to a mammal in need of such treatment an effective amount of a compound of the following formula:

-2-

or the <u>a</u> pharmaceutically acceptable salts salt thereof, wherein one of Y^1 , Y^2 , Y^3 and Y^4 is N and the others are independently selected from CH and

C(L):

R¹ is H, C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, C₃₋₇ cycloalkyl, C₁₋₈ alkoxy, halosubstituted C₁₋₈ alkoxy, C₁₋₈ alkyl-S(O)m-, Q¹-, pyrrolidinyl, piperidyl, oxopyrrolidinyl, oxopiperidyl, amino, mono- or di-(C₁₋₈ alkyl)amino, C₁₋₄alkyl-C(=O)-N(R³)- or C₁₋₄alkyl-S(O)m-N(R³)-, wherein said C₁₋₈ alkyl, C₂₋₈ alkenyl and C₂₋₈ alkynyl are optionally substituted with halo, C₁₋₃ alkyl, hydroxy, oxo, C₁₋₄ alkoxy-, C₁₋₄ alkyl-S(O)m-, C₃₋₇ cycloalkyl-, cyano, indanyl, 1,2,3,4-tetrahydronaphtyl, 1,2-dihydronaphtyl, pyrrolidinyl, piperidyl, oxopyrrolidinyl, oxopiperidyl, Q¹-, Q¹-C(=O)-, Q¹-O-, Q¹-S(O)m-, Q¹-C₁₋₄alkyl-O-, Q¹-C₁₋₄alkyl-C(O)-N(R³)-; Q¹-C₁₋₄alkyl-N(R³)- or C₁₋₄alkyl-C(O)-N(R³)-;

-3-

PC9985B

- Q1 is a 5-12 membered monocyclic or bicyclic aromatic ring optionally containing up to 4 heteroatoms selected from O, N and S, and is optionally substituted with halo, C1-4 alkyl, halo-substituted C1-4 alkyl, hydroxy, C1-4 alkoxy, halo-substituted C1-4 alkoxy, C1-4 alkylthio, nitro, amino, mono- or di-(C1-4alkyl)amino, cyano, HO-C1-4 alkyl, C1-4 alkoxy-C1-4alkyl, C1-4 alkylsulfonyl, aminosulfonyl, C1-4alkylC(=O)-, HO(O=)C-, C1-4alkyl-O(O=)C-, R3N(R4)C(=O)-, C1-4 alkylsulfonylamino, C3-7 cycloalkyl, R3C(=O)N(R4)- or NH2(HN=)C-:
- A is a 5-6 membered monocyclic aromatic ring optionally containing up to 3 heteroatoms selected from O, N and S, wherein said 5-6 membered monocyclic aromatic ring is optionally substituted with up to 3 substituents selected from halo, C₁₋₄ alkyl, halo-substituted C₁₋₄ alkyl, hydroxy, C₁₋₄ alkoxy, halo-substituted C₁₋₄ alkoxy, C₁₋₄ alkoxy, C₁₋₄ alkylthio, nitro, amino, mono- or di-(C₁₋₄ alkyl)amino, cyano, HO-C₁₋₄ alkyl, C₁₋₄ alkoxy-C₁₋₄ alkyl, C₁₋₄ alkylsulfonyl, aminosulfonyl, acetyl, R³N(R⁴)C(=O)-, HO(O=)C-, C₁₋₄ alkyl-O(O=)C-, C₁₋₄ alkylsulfonylamino, C₃₋₇ cycloalkyl, R³C(=O)N(R⁴)- and NH₂(HN=)C-;
- B is halo-substituted C₁₋₆ alkylene, C₃₋₇ cycloalkylene, C₂₋₆ alkenylene, C₂₋₆ alkylene, C₁₋₆ alkylene, C₁₋₂ alkylene or C₁₋₆ alkylene optionally substituted with an oxo group or C₁₋₃ alkyl;

W is NH, N-C₁₋₄ alkyl, O, S, N-OR⁵ or a covalent bond;

R² is H, C₁₋₄ alkyl, OH or C₁₋₄ alkoxy;

Z is a 5-12 membered monocyclic or bicyclic aromatic ring optionally containing up to 3 heteroatoms selected from O, N and S, wherein said 5-12 membered monocyclic or bicyclic aromatic ring is optionally substituted with halo, C₁₋₄ alkyl, halo-substituted C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, hydroxy, C₁₋₄ alkoxy, halo-substituted C₁₋₄ alkoxy, C₁₋₄ alkylthio, nitro, amino, mono- or di-(C₁₋₄ alkyl)amino, cyano, HO-C₁₋₄ alkyl, C₁₋₄ alkoxy-C₁₋₄alkyl, C₁₋₄ alkylsulfonyl, aminosulfonyl, C₁₋₄alkylC(=O)-,

-4-

PC9985B

 $R^3C(=O)N(R^4)$ -, HO(O=)C-, C₁₋₄alkyl-O(O=)C-, C₁₋₄ alkylsulfonylamino, C₃₋₇ cycloalkyl, $NH_2(HN=)C-$, $Q^2-S(O)m-$, Q^2-O- , $Q^2-N(R^3)-$ or Q^2- ;

L is halo, C₁₋₄ alkyl, halo-substituted C₁₋₄ alkyl, hydroxy, C₁₋₄ alkoxy, halosubstituted C1-4 alkoxy, C1-4 alkylthio, nitro, amino, mono- or di-(C1-4 alkyl)amino, cyano, HO-C₁₋₄ alkyl, C₁₋₄ alkoxy-C₁₋₄ alkyl, C₁₋₄ alkylsulfonyl, aminosulfonyl, C_{1-4} alkylC(=O)-, HO(O=)C-, C_{1-4} alkyl-O(O=)C-, C_{1-4} alkylsulfonylamino, C₃₋₇ cycloalkyl, R³C(=0)N(R⁴)-, NH₂(HN=)C-, $R^3N(R^4)C(=0)$ -, $R^3N(R^4)S(0)m$ -, Q^2 -, Q^2 -C(=0)-, Q^2 -O-, Q^2 - $C_{1-4}alkyl$ -O-, or two adjacent L groups are optionally joined together to form an alkylene chain having 3 or 4 members in which one or two (non-adjacent) carbon atoms are optionally replaced by oxygen atoms:

m is 0, 1 or 2;

 ${\rm R}^3$ and ${\rm R}^4$ are independently selected from H and ${\rm C}_{1\text{--}4}$ alkyl;

 R^5 is H, C_{1-4} alkyl, C_{1-4} alkyl-(O=)C- or C_{1-4} alkyl-O-(O=)C-; and

- Q² is a 5-12 membered monocyclic or bicyclic aromatic ring, or a 5-12 membered tricyclic ring optionally containing up to 3 heteroatoms selected from O, N and S, wherein said 5-12 membered monocyclic or bicyclic aromatic ring is optionally substituted with halo, C₁₋₄ alkyl, halo-substituted C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, hydroxy, C₁₋₄ alkoxy, halo-substituted C₁₋₄ alkoxy, C₁₋₄ alkylthio, nitro, amino, mono- or di-(C1-4 alkyl)amino, cyano, HO-C1-4 alkyl, C1-4 alkoxy-C1-4alkyl, C₁₋₄ alkylsulfonyl, aminosulfonyl, C₁₋₄alkyl- (O=)C-, R³(R⁴)C(=O)N-, HO(O=)C-, C_{1-4} alkyl-O(O=)C-, C_{1-4} alkylsulfonylamino, C_{3-7} cycloalkyl, C_{1-4} alkyl-C(=O)NH- or NH2(HN=)C-.
- 29. (Previously presented) A method according to Claim 28, wherein one of Y1, Y2, Y3, and Y4 is N and the others are independently selected from CH and C(L);

-5-

PC9985B

- R¹ is H, C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, C₃₋₇ cycloalkyl, C₁₋₈ alkoxy, halosubstituted C₁₋₈ alkoxy, C₁₋₈ alkyl-S(O)m-, Q¹-, pyrrolidinyl, piperidyl, oxopyrrolidinyl, oxopiperidyl, amino, mono- or di-(C₁₋₈ alkyl)amino, C₁₋₄alkyl-C(=O)-N(R³)- or C₁₋₄alkyl-S(O)m-N(R³)-, wherein said C₁₋₈ alkyl, C₂₋₈ alkenyl and C₂₋₈ alkynyl are optionally substituted with halo, C₁₋₃ alkyl, hydroxy, oxo, C₁₋₄ alkoxy-, C₁₋₄ alkyl-S(O)m-, C₃₋₇ cycloalkyl-, cyano, indanyl, 1,2,3,4-tetrahydronaphtyl, 1,2-dihydronaphtyl, pyrrolidinyl, piperidyl, oxopyrrolidinyl, oxopiperidyl, Q¹-, Q¹-C(=O)-, Q¹-O-, Q¹-S(O)m-, Q¹-C₁₋₄ alkyl-O-, Q¹-C₁₋₄ alkyl-O-, Q¹-C₁₋₄ alkyl-C(=O)-N(R³)-;
- Q¹ is a 5-12 membered monocyclic or bicyclic aromatic ring optionally containing up to 4 heteroatoms selected from O, N and S, and is optionally substituted with halo, C₁-4 alkyl, halo-substituted C₁₋₄ alkyl, hydroxy, C₁₋₄ alkoxy, halo-substituted C₁₋₄ alkoxy, C₁₋₄ alkylhio, nitro, amino, mono- or di-(C₁₋₄ alkyl)amino, cyano, HO-C₁₋₄ alkyl, C₁₋₄ alkoxy-C₁₋₄ alkyl, C₁₋₄ alkylsulfonyl, aminosulfonyl, C₁₋₄ alkylC(=O)-, HO(O=)C-, C₁₋₄ alkyl-O(O)C-, R³N(R⁴)C(=O)-, C₁₋₄ alkylsulfonylamino, C₃₋₇ cycloalkyl, R³C(=O)N(R⁴)- or NH₂(HN=)C-;
- A is a 5-6 membered monocyclic aromatic ring optionally containing up to 2 heteroatoms selected from O, N, and S, wherein said 5-6 membered monocyclic aromatic ring is optionally substituted with up to 2 substituents selected from halo, C₁₋₄ alkyl, halo-substituted C₁₋₄ alkyl, hydroxy, C₁₋₄ alkoxy and halo-substituted C₁₋₄ alkoxy;
- B is C_{3-7} cycloalkylene or C_{1-6} alkylene optionally substituted with an oxo group or C_{1-3} alkyl;

W is NH, N-C₁₋₄ alkyl, O or N-OH;

 R^2 is H or C_{1-4} alkyl;

Z is a 5-12 membered monocyclic or bicyclic aromatic ring optionally containing up to 3 heteroatoms selected from, N and S, wherein said 5-12 membered monocyclic or

From-

-6-

PATENT PFIZER ANN ARBOR MI

PC9985B

bicyclic aromatic ring is optionally substituted with halo, C_{1-4} alkyl, halosubstituted C_{1-4} alkyl, C_{2-4} alkenyl, C_{2-4} alkynyl, hydroxy, C_{1-4} alkoxy, nitro, amino, cyano, HO- C_{1-4} alkyl, C_{1-4} alkylsulfonyl, aminosulfonyl, C_{1-4} alkylC(=0), $R^3C(=0)N(R^4)$ -, C_{1-4} alkyl- C_{1-4} alkyl- C_{1-4} alkylsulfonylamino, C_{1-4} alkyl- $C_{$

L is halo, C₁₋₄ alkyl, halo-substituted C₁₋₄ alkyl, hydroxy, C₁₋₄ alkoxy, mono- or di(C₁₋₄ alkyl)amino, halo-substituted C₁₋₄ alkoxy, cyano, HO-C₁₋₄ alkyl, C₁₋₄
alkoxy-C₁₋₄ alkyl, C₁₋₄ alkylsulfonyl, aminosulfonyl, C₁₋₄ alkylC(=O)-,
HO(O=)C-, C₁₋₄ alkyl-O(O=)C-, C₁₋₄ alkylsulfonylamino, C₃₋₇ cycloalkyl,
R³C(=O)N(R⁴)-, R³N(R⁴)C(=O)-, R³N(R⁴)S(O)m-, Q²-, Q²-C(=O)-, Q²-O-, Q²-C₁₋₄alkyl-O-, or two adjacent L groups are optionally joined together to form an alkylene chain having 3 or 4 members in which one or two (non-adjacent) carbon atoms are optionally replaced by oxygen atoms;

m is 0 or 2;

 ${\rm R}^3$ and ${\rm R}^4$ are independently selected from H and ${\rm C}_{1\text{-}4}$ alkyl; and

- Q² is a 5-12 membered monocyclic or bicyclic aromatic ring, or a 8-12 membered tricyclic ring optionally containing up to 3 heteroatoms selected from O, N and S, wherein said 5-12 membered monocyclic or bicyclic aromatic ring is optionally substituted with halo, C₁₋₄ alkyl, halo-substituted C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkynyl, hydroxy, C₁₋₄ alkoxy, halo-substituted C₁₋₄ alkoxy, C₁₋₄ alkylthio, monoor di-(C₁₋₄ alkyl)amino, cyano, HO-C₁₋₄ alkyl, C₁₋₄ alkoxy-C₁₋₄ alkyl, C₁₋₄ alkyl-(O=)C-, R³(R⁴)C(=O)N-, HO(O=)C-, C₁₋₄ alkyl-O(O=)C-, C₁₋₄ alkylsulfonylamino, C₃₋₇ cycloalkyl or C₁₋₄ alkyl-C(=O)NH-.
- 30. (Previously presented) A method according to Claim 29, wherein one of Y¹, Y², Y³, and Y⁴ is N and the others are independently selected from CH and C(L);

-7-

PC9985B

- R¹ is H, C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, C₃₋₇ cycloalkyl, Q¹-, pyrrolidinyl, piperidyl, oxopyrrolidinyl, oxopiperidyl, amino, mono- or di-(C₁₋₈ alkyl)amino, wherein said C₁₋₈ alkyl is optionally substituted with halo, C₁₋₃ alkyl, hydroxy, oxo, C₁₋₄ alkoxy-, C₁₋₄ alkyl-S(O)m-, C₃₋₇ cycloalkyl-, cyano, indanyl, pyrrolidinyl, piperidyl, oxopyrrolidinyl, oxopiperidyl, Q¹-, Q¹-C(O)-, Q¹-O-, Q¹-S-, Q¹-C₁₋₄ alkyl-O-, or C₁₋₄alkyl-C(O)-N(R³)-;
- Q¹ is a 5-12 membered monocyclic aromatic ring optionally containing up to 4 heteroatoms selected from N and S, and is optionally substituted with halo, C₁₋₄ alkyl, C₁₋₄ alkylsulfonyl and C₁₋₄ alkylC(=O)-;
- A is 5-6 membered monocyclic aromatic ring optionally substituted with halo, C_{1-4} alkyl or C_{1-4} alkoxy;
- B is C_{3-7} cycloalkylene or C_{1-6} alkylene optionally substituted with an oxo group or C_{1-3} alkyl;

W is NH, N-C₁₋₄ alkyl, O or N-OH;

 R^2 is H or C_{1-4} alkyl;

- Z is 5-12 membered monocyclic or bicyclic aromatic ring optionally containing up to 3 heteroatoms selected from, N and S, wherein said 5-12 membered monocyclic or bicyclic aromatic ring is optionally substituted with halo, C₁₋₄ alkyl, halosubstituted C₁₋₄ alkyl, C₂₋₄ alkenyl, C₁₋₄ alkoxy, nitro, amino, cyano, R³C(=O)N(R⁴)-, C₁₋₄ alkyl-O(O=)C-, Q²- S(O)m-, Q²-O-, Q²-N(R³)- or Q²-;
- L is halo, C₁₋₄ alkyl, halo-substituted C₁₋₄ alkyl, hydroxy, C₁₋₄ alkoxy, halo-substituted C₁₋₄ alkoxy, mono- or di-(C₁₋₄ alkyl)amino, cyano, HO-C₁₋₄ alkyl, C₁₋₄ alkylsulfonyl, aminosulfonyl, C₁₋₄ alkylC(=O)-, HO(O=)C-, C₁₋₄ alkyl-O(O=)C-, C₁₋₄ alkylsulfonylamino, C₃₋₇ cycloalkyl, R³C(=O)N(R⁴)-, R³N(R⁴)C(=O)-, R³N(R⁴)S(O)m-, Q²-, Q²-C(=O)-, Q²-O-, Q²-C₁₋₄alkyl-O-, or two adjacent L groups are optionally joined together to form an alkylene chain having 3 or 4

-8-

PC9985B

members in which one or two (non-adjacent) carbon atoms are optionally replaced by oxygen atoms;

m is 0 or 2;

From-

- $\ensuremath{R^3}$ and $\ensuremath{R^4}$ are independently selected from H and $\ensuremath{C_{1\text{--}4}}$ alkyl; and
- Q² is a 5 or 6 membered monocyclic aromatic ring, or a 8-12 membered tricyclic ring containing up to 3 heteroatoms selected from N and S, wherein said 5 or 6 membered monocyclic aromatic ring is optionally substituted with halo.
- 31. (Previously presented) A method according to Claim 30, wherein
 - one of Y^1 , Y^2 , Y^3 and Y^4 is N and the others are independently selected from CH and C(L);
 - R¹ is H, C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl or C₃₋₇ cycloalkyl, wherein said C₁₋₈ alkyl is optionally substituted with halo, C₁₋₃ alkyl, hydroxy, oxo, C₁₋₄ alkoxy-, C₁₋₄ alkyl-S(O)m-, C₃₋₇ cycloalkyl-, cyano, indanyl, pyrrolidinyl, piperidyl, oxopyrrolidinyl, oxopiperidyl, Q¹-, Q¹-C(=O)-, Q¹-O-, Q¹-S-, Q¹-C₁₋₄ alkyl-O-, or C₁₋₄alkyl-C(O)-N(R³)-;
 - Q¹ is a 5 or 6 membered monocyclic aromatic ring optionally containing up to 4 heteroatoms selected from N and S;
 - A is 5-6 membered monocyclic aromatic ring system optionally substituted with halo or C₁₋₄ alkyl;
 - B is C_{3-7} cycloalkylene or C_{1-6} alkylene optionally substituted with an oxo group or C_{1-3} alkyl;

W is NH, N-C₁₋₄ alkyl, O or N-OH;

R² is H or C₁₋₄ alkyl;

Z is 5-12 membered monocyclic or bicyclic aromatic ring optionally containing up to 3 heteroatoms selected from N and S, wherein said 5-12 membered monocyclic or bicyclic aromatic ring is optionally substituted with halo, C₁₋₄ alkyl, halo-

-9-

PC9985B

substituted C_{1-4} alkyl, C_{2-4} alkenyl, C_{1-4} alkoxy, nitro, amino, cyano, $R^3C(=O)N(R^4)-, C_{1-4} \text{ alkyl-O}(O=)C-, Q^2-S(O)m-, Q^2-O-, Q^2-N(R^3)- \text{ or } Q^2-N(R^3)- \text$

L is halo, C₁₋₄ alkyl, halo-substituted C₁₋₄ alkyl, hydroxy, C₁₋₄ alkoxy, halo-substituted C₁₋₄ alkoxy, cyano, HO-C₁₋₄ alkyl, C₁₋₄ alkylsulfonyl, aminosulfonyl, C₁₋₄ alkylC(=O), HO(O=)C-, C₁₋₄ alkyl-O(O=)C-, C₁₋₄ alkylsulfonylamino, C₃₋₇ cycloalkyl, R³C(=O)NR⁴-, R³N(R⁴)C(=O)-, R³N(R⁴)S(O)m-, Q²-, Q²-C(=O)-, Q²-O-, Q²-C₁₋₄alkyl-O-, or two adjacent L groups are optionally joined together to form an alkylene chain having 3 or 4 members in which one or two (non-adjacent) carbon atoms are optionally replaced by oxygen atoms;

m is 0 or 2;

- ${\rm R}^3$ and ${\rm R}^4$ are independently selected from H and ${\rm C}_{1\text{-}4}$ alkyl; and
- Q² is 5 or 6 membered monocyclic aromatic ring or a 8-12 membered tricyclic ring optionally containing 1 sulfur atom wherein said 5 or 6 membered monocyclic aromatic ring is optionally substituted with halo.
- 32. (Previously presented) A method according to Claim 31, wherein

one of Y^1 , Y^2 , Y^3 and Y^4 is N and the others are independently selected from CH and C(L);

 R^1 is C_{1-5} alkyl or C_{3-7} cycloalkyl, wherein said C_{1-5} alkyl is optionally substituted with C_{1-3} alkyl, hydroxy, oxo, pyrrolidinyl, piperidyl, oxopyrrolidinyl, oxopiperidyl, Q^1 -, or C_{1-4} alkyl-C(O)-N(H)-;

Q1 is 5-12 membered monocyclic aromatic ring system optionally containing up to 2 heteroatoms selected from N and S,

A is 5-6 membered monocyclic aromatic ring system;

B is C_{1-3} alkylene optionally substituted with C_{1-3} alkyl;

W is NH, N-C₁₋₂ alkyl or O;

 R^2 is H;

-10-

PC9985B

- Z is 5-12 membered monocyclic or bicyclic aromatic ring optionally containing up to 3 heteroatoms selected from N and S, wherein said 5-12 membered monocyclic aromatic ring is optionally substituted with halo, C₁₋₄ alkyl, nitro, R³C(=O)N(R⁴)- or Q²-;
- L is halo, C₁₋₄ alkyl, halo-substituted C₁₋₄ alkyl, hydroxy, C₁₋₄ alkoxy, halo-substituted C₁₋₄ alkoxy, cyano, HO-C₁₋₄ alkyl, acetyl, R³N(R⁴)C(=O)-, R³N(R⁴)S(O)m-, Q²-, Q²-C(=O)-, or two adjacent L groups are joined together to form a methylenedioxy group;
- R^3 and R^4 are independently selected from H and C_{1-4} alkyl; and Q^2 is 5 or 6 membered monocyclic aromatic ring system.
- 33. (Previously presented) A method according to Claim 32, wherein one of Y¹, Y², Y³ and Y⁴ is N and the others are independently selected from CH and C(L);
 - R^1 is C_{1-5} alkyl optionally substituted with C_{1-3} alkyl, hydroxy, oxo, 5 or 6 membered monocyclic aromatic ring, wherein said 5 or 6 membered monocyclic aromatic ring is containing 1 or 2 heteroatoms selected from N and S, or C_{1-4} alkyl-C(O)-N(R^3)-;

A is phenyl;

B is C_{1-2} alkylene optionally substituted with methyl;

W is NH, N-CH3 or O;

 \mathbb{R}^2 is H:

- Z is 5-10 membered monocyclic or bicyclic aromatic ring optionally containing up to 3 heteroatoms selected from N and S, wherein said 5-10 membered monocyclic aromatic ring is optionally substituted with chloro, bromo, methyl, nitro, CH₃C(=O)NH-, tBuC(=O)NH- or phenyl; and
- L is chloro, methyl, trifluoromethyl, hydroxy, methoxy, cyano, acetyl, -C(=O)NH₂, trifluoromethyloxy, methanesulfonyl, or 1-hydroxy-1-methyl-ethyl, or two adjacent L groups are joined together to form a methylenedioxy group.

-11-

PC9985B

34. (Previously presented) A method according to Claim 33, wherein

one of Y^1 , Y^2 , Y^3 and Y^4 is N and the others are independently selected from CH and C(L);

R¹ is methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, neopentyl, thiazolylethyl methylamino, dimethylamino, pyrrolidinyl, pyridyl, or 1-acetylamino-1-methylethyl;

A is phenyl;

B is ethylene or propylene;

W is NH, N-CH3 or O;

R² is H:

- Z is phenyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, naphthyl or benzothienyl, said phenyl, pyrazolyl, thiazolyl, thiadiazolyl and thienyl being optionally substituted with one to three substituents independently selected from chloro, bromo, methyl, acetylamino, pivaloylamino, nitro and phenyl; and
- L is chloro, methyl, trifluoromethyl, hydroxy, methoxy, cyano, acetyl, -C(=O)NH₂, trifluoromethyloxy, methanesulfonyl, or l-hydroxy-1-methyl-ethyl, or two adjacent L groups are joined together to form a methylenedioxy group.
- 35. (Previously presented) A method according to Claim 34, wherein

Y1, Y2, Y3 and Y4 are selected from the group consisting of

- a) Y¹ and Y³ are C(L), Y² is CH and Y⁴ is N:
- b) Y^1 is CH, Y^2 and Y^3 are C(L) and Y^4 is N;
- c) Y^1 , Y^2 and Y^3 are C(L) and Y^4 is N;
- d) Y^1 and Y^3 are C(L), Y^2 is N and Y^4 is CH;
- e) Y^1 and Y^2 are CH, Y^3 is C(L) and Y^4 is N;
- f) Y^1 and Y^3 are CH, Y^2 is C(L) and Y^4 is N;
- g) Y^1 and Y^2 are C(L), Y^3 is CH and Y^4 is N;
- h) Y^1 and Y^2 are C(L), Y^3 is N and Y^4 is CH;
- i) Y^1 is C(L), Y^2 and Y^3 are CH, and Y^4 is N; and

-12-

PC9985B

- j) Y^2 is C(L), Y^1 and Y^3 are CH, and Y^4 is N;
- R¹ is methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, neopentyl, thiazolylethyl methylamino, dimethylamino, pyrrolidinyl, pyridyl, or 1-acetylamino-1-methylethyl;

A is phenyl;

B is ethylene or propylene;

W is NH, N-CH3 or O;

 \mathbb{R}^2 is H:

- Z is phenyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, naphthyl or benzothienyl, said phenyl, pyrazolyl, thiazolyl, thiadiazolyl and thienyl being optionally substituted with one to three substituents independently selected from chloro, bromo, methyl, acetylamino, pivaloylamino, nitro and phenyl; and
- L is chloro, methyl, trifluoromethyl, hydroxy, methoxy, cyano, acetyl, -C(=O)NH₂, trifluoromethyloxy, methanesulfonyl, or 1-hydroxy-1-methyl-ethyl, or two adjacent L groups are joined together to form a methylenedioxy group.
- 36. (Previously presented) A method according to Claim 35, wherein

Y¹, Y², Y³ and Y⁴ are selected from the group consisting of

- a) Y¹ and Y³ are C(L), Y² is CH and Y⁴ is N;
- b) Y^1 is CH, Y^2 and Y^3 are C(L) and Y^4 is N;
- c) Y^1 , Y^2 and Y^3 are C(L) and Y^4 is N; and
- d) Y^1 and Y^3 are C(L), Y^2 is N and Y^4 is CH;
- R¹ is methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, neopentyl, thiazolylethyl methylamino, dimethylamino, pyrrolidinyl, pyridyl, or 1-acetylamino-1-methylethyl;

A is phenyl;

B is ethylene or propylene;

W is NH, N-CH₂ or O;

R² is H:

Z is phenyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, naphthyl or benzothienyl, said phenyl, pyrazolyl, thiazolyl, thiadiazolyl and thienyl being optionally substituted

From-

-13-

PC9985B

with one to three substituents independently selected from chloro, bromo, methyl, acetylamino, pivaloylamino, nitro and phenyl; and

- L is chloro, methyl, trifluoromethyl, hydroxy, methoxy, cyano, acetyl, -C(=O)NH₂, trifluoromethyloxy, methanesulfonyl, or 1-hydroxy-1-methyl-ethyl, or two adjacent L groups are joined together to form a methylenedioxy group.
- 37. (Currently amended) A method according to Claim 28 wherein the compound is selected from the group consisting of:
 - 3-(4-{2-[({[(5-chloro-1,3-dimethyl-1h-pyrazol-4-yl)sulfonyl]amino}carbonyl)amino]ethyl} phenyl)-2-ethyl-5,7-dimethyl-3*H*-imidazo[4,5-*b*]pyridine;
 - 3-(4-{2-[({[(2,4-dimethyl-1,3-thiazol-5-yl)sulfonyl]amino}carbonyl)amino]ethyl}phenyl)-2-ethyl-5,7-dimethyl-3*H*-imidazo[4,5-*b*]pyridine;
 - N-[5-({[({2-[4-(2-ethyl-5,7-dimethyl-3*H*-imidazo[4,5-*b*]pyridin-3-yl)phenyl]ethyl}amino)carbonyl]amino}sulfonyl)-1,3,4-thiadiazol-2-yl]acetamide;
 - 2-ethyl-5,7-dimethyl-3-(4-{2-[methyl({[(4-methylphenyl)sulfonyl]amino} carbonyl)amino]ethyl}phenyl)-3*H*-imidazo[4,5-*b*]pyridine;
 - 2-ethyl-5,7-dimethyl-3-(4-{2-[({[(4-methyl-3-(4-{2-[({[(4-methyl-3-dimethyl-3-(4-{2-[({[(4-b)] amino}]propyl}phenyl)-3*H*-imidazo[4,5-b]pyridine;
 - 2-[4-(2-ethyl-5,7-dimethyl-3*H*-imidazo[4,5-*b*]pyridin-3-yl)phenyl]-1-methylethyl (4-methylphenyl)sulfonylcarbamate;
 - 5,7-dimethyl-3-(4-{2-[({[(4-methylphenyl)sulfonyl]amino}carbonyl)amino]ethyl}phenyl)-2-propyl-3*H*-imidazo[4,5-*b*]pyridine;
 - 2-isopropyl-5,7-dimethyl-3-(4-{2-[({[(4-methyl-3-(4-{2-[({[(4-methylphenyl)sulfonyl]amino}carbonyl)amino]ethyl}phenyl)-3*H*-imidazo[4,5-*b*]pyridine;

10/771.696

From-

-14-

PC9985B

- 2-butyl-5,7-dimethyl-3-(4-{2-[({[(4-methyl-3-(4-{2-[({[(4-methyl-3-(4-{2-[({[(4-bhyl-3-(4-{2-[(4-bhyl-3-(4-{2-[(4-bhyl-3-(4-{2-[(4-bhyl-3-(4-{2-[(4-bhyl-3-(4-{4-byl-3-(4-{4-byl-3-(4-{4-byl-3-(4-{4-byl-3-(4-byl-
- 2-isobutyl-5,7-dimethyl-3-(4-{2-[({[(4-methylphenyl)sulfonyl]amino}carbonyl)amino]ethyl}phenyl)-3*H*-imidazo[4,5-*b*]pyridine;
- 5,7-dimethyl-3-(4-{2-[({[(4-methyl-3-(4-{2-[({[(4-methyl-3-(4-{2-[({[(4-yl)phenyl)sulfonyl]amino}ethyl}phenyl)-2-[2-(1,3-thiazol-2-yl)ethyl]-3*H*-imidazo[4,5-*b*]pyridine;
- 3-{4-[2-({[(4-biphenylsulfonyl)amino]carbonyl}amino)ethyl]phenyl}-2-ethyl-5,7-dimethyl-3*H*-imidazo[4,5-*b*]pyridine;
- 2-ethyl-5,7-dimethyl-3-{4-[2-({[(1-naphthylsulfonyl)amino]carbonyl}amino)ethyl]phenyl}-3H-imidazo[4,5-b]pyridine;
- 2-ethyl-5,7-dimethyl-3-{4-[2-({[(2-naphthylsulfonyl)amino]carbonyl}amino)ethyl]phenyl}-3*H*-imidazo[4,5-*b*]pyridine; 2-ethyl-5,7-dimethyl-3-(4-{2-[({[(2-
- thienyl)sulfonyl]amino}carbonyl)amino]ethyl}phenyl)-3H-imidazo[4,5-b]pyridine;
- 3-(4-{2-[({[(5-chloro-2-thienyl)sulfonyl]amino}carbonyl)amino]ethyl}phenyl)-2-ethyl-5,7-dimethyl-3*H*-imidazo[4,5-*b*]pyridine;
- 3-(4-{2-[({[(4,5-dichloro-2-thienyl)sulfonyl]amino}carbonyl)amino]ethyl}phenyl)-2-ethyl-5,7-dimethyl-3*H*-imidazo[4,5-*b*]pyridine;
- 3-{4-[2-({[(1-benzothien-2-ylsulfonyl)amino]carbonyl}amino)ethyl]phenyl}-2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridine;
- 3-(4-{2-[({[(2-chlorophenyl)sulfonyl]amino}carbonyl)amino]ethyl}phenyl)-2-ethyl-5,7-dimethyl-3*H*-imidazo[4,5-*b*]pyridine;
- 2-ethyl-5,6-dimethyl-3-(4-{2-[({[(4-methyl-3-(4-{2-[({[(4-methyl-3-(4-{2-[({[(4-b]) amino}]ethyl}phenyl)-3H-imidazo[4,5-b]pyridine;

From-

-15-

PATENT PFIZER ANN ARBOR MI

PC9985B

- 5,6-dichloro-2-ethyl-3-(4-{2-[({[(4methylphenyl)sulfonyl]amino]carbonyl)amino]ethyl}phenyl)-3H-imidazo[4,5b]pyridine;
- 5-chloro-2-ethyl-7-methyl-3-(4-{2-[({[(4methylphenyl)sulfonyl]amino}carbonyl)amino]ethyl}phenyl)-3H-imidazo[4,5b)pyridine;
- 6-cyano-2-ethyl-5,7-dimethyl-3-(4-{2-[({[(4methylphenyl)sulfonyl]amino}carbonyl)amino]ethyl}phenyl)-3H-imidazo[4,5b]pyridine;
- 2-ethyl-4,6-dimethyl-1-(4-{2-[({[(4methylphenyl)sulfonyl]amino}carbonyl)amino]ethyl}phenyl)-1H-imidazo[4,5c)pyridine;
- 2-ethyl-3-{4-[2-({[({3-[hydroxy(oxido)amino]phenyl}sulfonyl)amino]carbonyl}amino)ethyl]phenyl}-5,7dimethyl-3*H*-imidazo[4,5-*b*]pyridine;
- 3-(4-{2-[({[(4-chlorophenyl)sulfonyl]amino}carbonyl)amino]ethyl}phenyl)-2-ethyl-5,7dimethyl-3H-imidazo[4,5-b]pyridine;
- $n-[4-({[({2-[4-(2-ethyl-5,7-dimethyl-3}H-imidazo[4,5-b]pyridin-3-}$ yl)phenyl]ethyl}amino)carbonyl]amino}sulfonyl)phenyl]-2,2-dimethylpropanamide;
- 3-(4-{2-[({[(2-chlorophenyl)sulfonyl]amino}carbonyl)amino]ethyl}phenyl)-2-ethyl-5,7dimethyl-3H-imidazo[4,5-b]pyridine;
- 3-(4-{2-[({[(3-chlorophenyl)sulfonyl]amino}carbonyl)amino]ethyl}phenyl)-2-ethyl-5,7dimethyl-3*H*-imidazo[4,5-*b*]pyridine;
- $3-(4-\{2-[(\{[(5-chloro-2-thienyl)sulfonyl]amino\} carbonyl)amino]ethyl\} phenyl)-2-ethyl-2-ethy$ 5,7-dimethyl-3*H*-imidazo[4,5-*b*]pyridine;
- 3-(4-{2-[({[(5-bromo-2-thienyl)sulfonyl]amino}carbonyl)amino]ethyl}phenyl)-2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridine;
- 3-(4-{2-[({[(2-bromophenyl)sulfonyl]amino}carbonyl)amino]ethyl}phenyl)-2-ethyl-5,7dimethyl-3H-imidazo[4,5-b]pyridine;
- 3-{4-[2-({[({4-chloro-3-nitrophenyl}sulfonyl)amino]carbonyl}amino)ethyl]phenyl}-2ethyl-5,7-dimethyl-3*H*-imidazo[4,5-*b*]pyridine;

10/771.696

-16-

PC9985B

- 2-[4-(2-ethyl-4,6-dimethyl-1*H*-imidazo[4,5-*c*]pyridin-1-yl)phenyl]ethyl (4-methylphenyl)sulfonylcarbamate;
- 2-{4-[5,7-dimethyl-2-(methylamino)-3*H*-imidazo[4,5-*b*]pyridin-3-yl]phenyl}ethyl (4-methylphenyl)sulfonylcarbamate;
- N-{[(2-{4-[5,7-dimethyl-2-(methylamino)-3*H*-imidazo[4,5-*b*]pyridin-3-yl]phenyl}ethyl)amino]carbonyl}-4-methylbenzenesulfonamide;
- N-[({2-[4-(2-ethyl-5,7-dimethyl-3*H*-imidazo[4,5-*b*]pyridin-3-yl)phenyl]ethyl}amino)carbonyl]-2-thiophenesulfonamide;
- 2-[4-(4,6-dimethyl-2-phenyl-1*H*-imidazo[4,5-*c*]pyridin-1-yl)phenyl]ethyl (4-methylphenyl)sulfonylcarbamate;
- 2-[4-(2-butyl-4,6-dimethyl-1*H*-imidazo[4,5-*c*]pyridin-1-yl)phenyl]ethyl (4-methylphenyl)sulfonylcarbamate;
- 2-{4-[4,6-dimethyl-2-(3-phenylpropyl)-I*H*-imidazo[4,5-c]pyridin-1-yl]phenyl}ethyl (4-methylphenyl)sulfonylcarbamate;
- N-{[(2-{4-[5,7-dimethyl-2-(1*H*-pyrazol-3-yl)-3*H*-imidazo[4,5-*b*]pyridin-3-yl]phenyl}ethyl)amino]carbonyl}-4-methylbenzenesulfonamide; and
- 2-{4-[2-(1,1-dimethylethyl)-4,6-dimethyl-1*H*-imidazo[4,5-*c*]pyridin-1-yl]phenyl}ethyl (4-methylphenyl)sulfonylcarbamate; and

salts thereof. or a pharmaceutically acceptable salt thereof.

- 38. (Currently amended) A method according to Claim 28 wherein the compound is selected from the group consisting of:
 - 2-[4-(2-ethyl-5,7-dimethyl-3*H*-imidazo[4,5-*b*]pyridin-3-yl)phenyl]-1-methylethyl (4-methylphenyl)sulfonylcarbamate;
 - 5,7-dimethyl-3-(4-{2-[({[(4-methylphenyl)sulfonyl]amino}carbonyl)amino]ethyl}phenyl)-2-[2-(1,3-thiazol-2-yl)ethyl]-3*H*-imidazo[4,5-*b*]pyridine;
 - 2-ethyl-5,7-dimethyl-3-(4-{2-[({[(2-thienyl)sulfonyl]amino}carbonyl)amino]ethyl}phenyl)-3*H*-imidazo[4,5-*b*]pyridine;
 - 3-(4-{2-[({[(2-chlorophenyl)sulfonyl]amino}carbonyl)amino]ethyl}phenyl)-2-ethyl-5,7-dimethyl-3*H*-imidazo[4,5-*b*]pyridine;

-17-

PC9985B

- 2-ethyl-5,6-dimethyl-3-(4-{2-[({[(4-methylphenyl)sulfonyl]amino}carbonyl)amino]ethyl}phenyl)-3H-imidazo[4,5-b]pyridine;
- 5,6-dichloro-2-ethyl-3-(4-{2-[({[(4-methylphenyl)sulfonyl]amino}carbonyl)amino]ethyl} phenyl)-3H-imidazo[4,5-b]pyridine;
- 2-ethyl-4,6-dimethyl-1-(4-{2-[({[(4-methylphenyl)sulfonyl]amino}carbonyl)amino] ethyl}phenyl)-1*H*-imidazo[4,5-*c*]pyridine;
- 2-[4-(2-ethyl-4,6-dimethyl-1*H*-imidazo[4,5-*c*]pyridin-1-yl)phenyl]ethyl (4-methylphenyl)sulfonylcarbamate;
- 2-{4-[5,7-dimethyl-2-(methylamino)-3*H*-imidazo[4,5-*b*]pyridin-3-yl]phenyl}ethyl (4-methylphenyl)sulfonylcarbamate;
- N-{[(2-{4-[5,7-dimethyl-2-(methylamino)-3*H*-imidazo[4,5-*b*]pyridin-3-yl]phenyl}ethyl)amino]carbonyl}-4-methylbenzenesulfonamide;
- N-[({2-[4-(2-ethyl-5,7-dimethyl-3*H*-imidazo[4,5-*b*]pyridin-3-yl)phenyl]ethyl}amino)carbonyl]-2-thiophenesulfonamide;
- 2-[4-(4,6-dimethyl-2-phenyl-1*H*-imidazo[4,5-c]pyridin-1-yl)phenyl]ethyl (4-methylphenyl)sulfonylcarbamate;
- 2-[4-(2-butyl-4,6-dimethyl-1*H*-imidazo[4,5-*c*]pyridin-1-yl)phenyl]ethyl (4-methylphenyl)sulfonylcarbamate;
- 2-{4-[4,6-dimethyl-2-(3-phenylpropyl)-1*H*-imidazo[4,5-*c*]pyridin-1-yl]phenyl}ethyl (4-methylphenyl)sulfonylcarbamate;
- N-{[(2-{4-[5,7-dimethyl-2-(1*H*-pyrazol-3-yl)-3*H*-imidazo[4,5-*b*]pyridin-3-yl]phenyl}ethyl)amino]carbonyl}-4-methylbenzenesulfonamide; and
- 2- $\{4-[2-(1,1-\text{dimethyl})-4,6-\text{dimethyl}-1H-\text{imidazo}[4,5-c]$ pyridin-1-yl]phenyl}ethyl (4-methylphenyl)sulfonylcarbamate; and

salts thereof., or a pharmaceutically acceptable salt thereof.

39. (Currently amended) A method according to claim 28 wherein the compound is

2 Ethyl-4,6-dimethyl-1 (4 {2-{({{(4-methyphenyl)sulfonyl]amino}}}

carbonyl)amino[ethyl]phenyl) 111 imidazo[4,5-e}pyridine 2-Ethyl-4,6-dimethyl-1-(4-

Apr-25-2006 03:45pm From- PATENT PFIZER ANN ARBOR MI 7346222928 T-000 P.019/021 F-923

10/771,696

-18-

PC9985B